

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
12 June 2003 (12.06.2003)

PCT

(10) International Publication Number
WO 03/048136 A1(51) International Patent Classification⁷: C07D 263/22,
413/06, 417/06, A61K 31/41, A61P 31/04

(74) Agents: PRICE, Robert, L. et al.; McDermott, Will & Emery, 600 13th Street, N.W., Washington, DC 20005-3096 (US).

(21) International Application Number: PCT/US02/38153

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

(22) International Filing Date:
27 November 2002 (27.11.2002)

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(25) Filing Language: English

Published:

— with international search report

(30) Priority Data:

60/333,741 29 November 2001 (29.11.2001) US

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(71) Applicants (for all designated States except US): MERCK & CO., INC. [US/US]; P.O. Box 2000, Rahway, NJ 07065 (US). KYORIN PHARMACEUTICALS CO., LTD. [JP/JP]; 5, Kanda Surugadai 2-chome, Chiyoda-ku, Tokyo (JP).

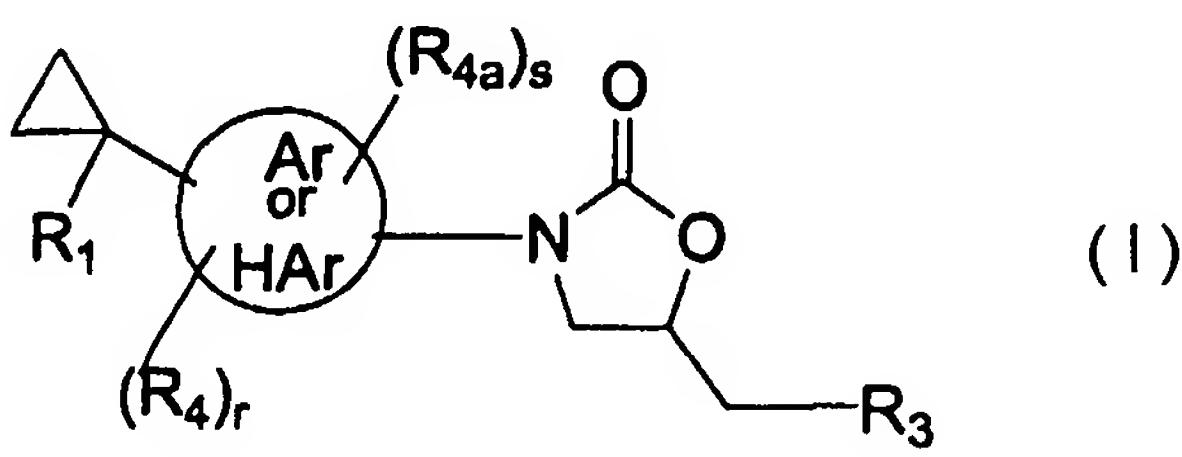
(72) Inventor; and

(75) Inventor/Applicant (for US only): FUKUDA, Yasumichi [JP/JP]; 33 Utsukushigaoka 3-chome, Oyama, Tochigi 329-0207 (JP).



WO 03/048136 A1

(54) Title: CYCLOPROPYL HEXANE CONTAINING OXAZOLIDINONE ANTIBIOTICS AND DERIVATIVES THEREOF



salt or ester thereof.

(57) Abstract: This invention relates to new oxazolidinones having a cyclopropyl moiety, which are effective against aerobic and anaerobic pathogens such as multi-resistant staphylococci, streptococci and enterococci, *Bacteroides* spp., *Clostridia* spp. species, as well as acid-fast organisms such as *Mycobacterium tuberculosis* and other mycobacterial species. The compounds are represented by structural formula (I): its enantiomer, diastereomer, or pharmaceutically acceptable